

G1 C, S, SO2, CH2, Cy

G2 H, Ak

Structure attributes must be viewed using STN Express query preparation.

=&gt; s l1

SAMPLE SEARCH INITIATED 17:08:52 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 87 TO ITERATE

100.0% PROCESSED 87 ITERATIONS

4 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 1181 TO 2299

PROJECTED ANSWERS: 4 TO 200

L2 4 SEA SSS SAM L1

=&gt; s l1 sss full

FULL SEARCH INITIATED 17:08:57 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 1759 TO ITERATE

100.0% PROCESSED 1759 ITERATIONS

66 ANSWERS

SEARCH TIME: 00.00.01

L3 66 SEA SSS FUL L1

=&gt; file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

166.94

167.15

FILE 'CAPLUS' ENTERED AT 17:09:02 ON 18 OCT 2006

Habte

10/19/2006

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FILE COVERS 1907 - 18 Oct 2006 VOL 145 ISS 17  
FILE LAST UPDATED: 17 Oct 2006 (20061017/ED)

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<http://www.cas.org/infopolicy.html>

=> s l3

L4 4 L3

=> d ibib abs hitstr tot

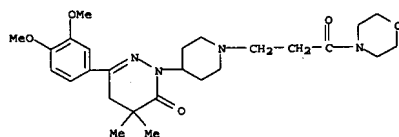
L4 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 2005:823688 CAPLUS  
 DOCUMENT NUMBER: 143:229868  
 TITLE: Preparation of piperidinyl pyridazinone derivatives  
 as  
 INVENTOR(S): PDE4 inhibitors  
 Hatzelmann, Armin; Barsig, Johannes; Marx, Degenhard;  
 Kley, Hans-Peter; Christiaans, Johannes A. M.; Menge,  
 Wiro M. P. B.; Sterk, Geert Jan  
 Altana Pharma A.-G., Germany  
 PATENT ASSIGNEE(S): PCT Int. Appl., 53 pp.  
 SOURCE: CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005075456	A1	20050818	WO 2005-EP50415	20050201
WO 2005075456	C1	20060302		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, GU, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.			
SM	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BP, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2005210042	A1	20050818	AU 2005-210042	20050201
CA 2554797	AA	20050818	CA 2005-2554797	20050201
PRIORITY APPLN. INFO.:			EP 2004-2420	A 20040204
			WO 2005-EP50415	W 20050201
OTHER SOURCE(S):				
GI				

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

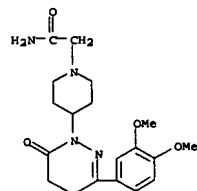
AB Title compds. I [R1 and R2 independently = alkyl; R3 = (un)substituted phenyl; R4 = COR5, S(O)2R6(CH2)nCOR7 or CO(CH2)mR8; R5 = alkyl, NR9R10 or (un)substituted phenyl; R6 = alkyl, NR11R12 or (un)substituted phenyl; R7 = NR13R14; R8 = NR15R16; R9-16 independently = H, alkyl, cycloalkyl, etc.; n = 1-4; m = 1-4] and their pharmaceutically acceptable salts, are prepared and disclosed as PDE4 inhibitors. Thus, e.g., II was prepared by coupling

L4 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

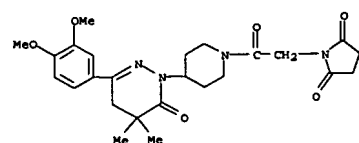


•x HCl

RN 862578-20-9 CAPLUS  
 CN 1-Piperidineacetamide,  
 4-[3-(3,4-dimethoxyphenyl)-5,6-dihydro-6-oxo-1(4H)-pyridazinyl]- (9CI) (CA INDEX NAME)



RN 862578-21-0 CAPLUS  
 CN Piperidine, 4-[3-(3,4-dimethoxyphenyl)-5,6-dihydro-5,5-dimethyl-6-oxo-1(4H)-pyridazinyl]-1-[(2,5-dioxo-1-pyrrolidinyl)acetyl]- (9CI) (CA INDEX NAME)



RN 862578-22-1 CAPLUS  
 CN Piperidine, 4-[3-(3,4-dimethoxyphenyl)-5,6-dihydro-5,5-dimethyl-6-oxo-

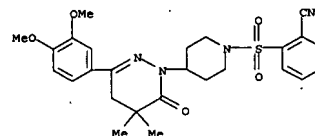
Habte

L4 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)  
 of 6-(3,4-dimethoxyphenyl)-4,4-dimethyl-2-piperidin-4-yl-4,5-dihydro-2H-pyridazin-3-one (prepn. given) with 2-cyanobenzenesulfonyl chloride. The inhibitory activity of I was evaluated using scintillation proximity

assay and it was revealed that selected compds. of the invention displayed -logIC50 values in the range of 7.60 up to 9.68 mol/L. I as inhibitor of PDE4 should prove useful in the treatment of airway disorders. Pharmaceutical compns. comprising I are disclosed.

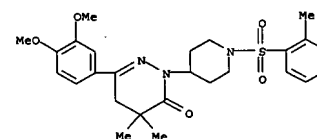
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 862578-21-0P 862578-22-1P 862578-24-3P  
 862578-25-4P 862578-26-5P 862578-27-6P  
 862578-28-7P 862578-29-8P 862578-30-1P  
 862578-31-2P 862578-32-3P 862578-33-4P  
 862578-34-5P 862578-35-6P 862578-36-7P  
 862578-37-8P 862578-38-9P 862578-39-0P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of piperidinyl pyridazinone derivs. as PDE4 inhibitors)

RN 862578-18-5 CAPLUS  
 CN Piperidine, 1-[(2-cyanophenyl)sulfonyl]-4-[3-(3,4-dimethoxyphenyl)-5,6-dihydro-5,5-dimethyl-6-oxo-1(4H)-pyridazinyl]- (9CI) (CA INDEX NAME)

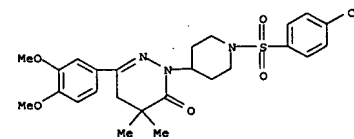


RN 862578-19-6 CAPLUS  
 CN Morpholine, 4-[3-[4-[3-(3,4-dimethoxyphenyl)-5,6-dihydro-5,5-dimethyl-6-oxo-1(4H)-pyridazinyl]-1-piperidinyl]-1-oxopropyl]-, hydrochloride (9CI) (CA INDEX NAME)

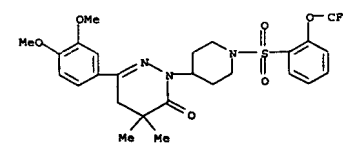
L4 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)  
 1(4H)-pyridazinyl]-1-[(2-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



RN 862578-24-3 CAPLUS  
 CN Piperidine, 1-[(4-cyanophenyl)sulfonyl]-4-[3-(3,4-dimethoxyphenyl)-5,6-dihydro-5,5-dimethyl-6-oxo-1(4H)-pyridazinyl]- (9CI) (CA INDEX NAME)

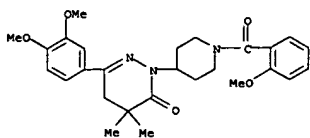


RN 862578-25-4 CAPLUS  
 CN Piperidine, 4-[3-(3,4-dimethoxyphenyl)-5,6-dihydro-5,5-dimethyl-6-oxo-1(4H)-pyridazinyl]-1-[(2-(trifluoromethoxy)phenyl)sulfonyl]- (9CI) (CA INDEX NAME)

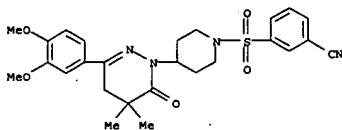


RN 862578-26-5 CAPLUS  
 CN Piperidine, 4-[3-(3,4-dimethoxyphenyl)-5,6-dihydro-5,5-dimethyl-6-oxo-1(4H)-pyridazinyl]-1-(2-methoxybenzoyl)- (9CI) (CA INDEX NAME)

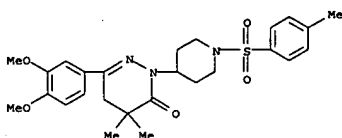
L4 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 862578-27-6 CAPLUS  
 CN Piperidine, 1-[(3-cyanophenyl)sulfonyl]-4-[3-(3,4-dimethoxyphenyl)-5,6-dihydro-5,5-dimethyl-6-oxo-1(4H)-pyridazinyl]- (9CI) (CA INDEX NAME)

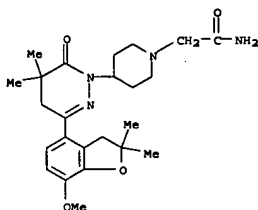


RN 862578-28-7 CAPLUS  
 CN Piperidine, 4-[3-(3,4-dimethoxyphenyl)-5,6-dihydro-5,5-dimethyl-6-oxo-1(4H)-pyridazinyl]-1-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

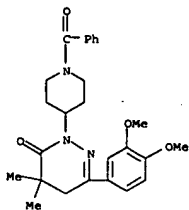


RN 862578-29-8 CAPLUS  
 CN Piperidine, 4-[3-(3,4-dimethoxyphenyl)-5,6-dihydro-5,5-dimethyl-6-oxo-1(4H)-pyridazinyl]-1-[(3-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

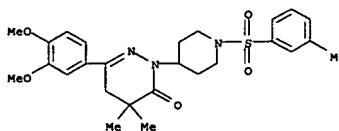


RN 862578-32-3 CAPLUS  
 CN Piperidine, 1-benzoyl-4-[3-(3,4-dimethoxyphenyl)-5,6-dihydro-5,5-dimethyl-6-oxo-1(4H)-pyridazinyl]- (9CI) (CA INDEX NAME)

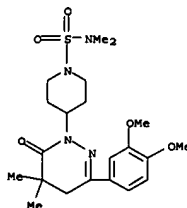


RN 862578-33-4 CAPLUS  
 CN 1-Piperidineacetamide, 4-[3-(3,4-dimethoxyphenyl)-5,5-diethyl-5,6-dihydro-6-oxo-1(4H)-pyridazinyl]-, hydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

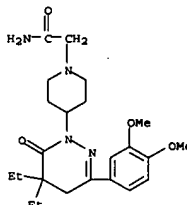


RN 862578-30-1 CAPLUS  
 CN 1-Piperidinesulfonamide, 4-[3-(3,4-dimethoxyphenyl)-5,6-dihydro-5,5-dimethyl-6-oxo-1(4H)-pyridazinyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)



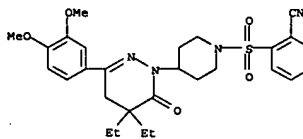
RN 862578-31-2 CAPLUS  
 CN 1-Piperidineacetamide, 4-[3-(2,3-dihydro-7-methoxy-2,2-dimethyl-4-benzofuranyl)-5,6-dihydro-5,5-dimethyl-6-oxo-1(4H)-pyridazinyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

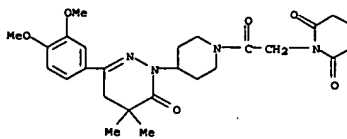


• x HCl

RN 862578-34-5 CAPLUS  
 CN Piperidine, 1-[(2-cyanophenyl)sulfonyl]-4-[3-(3,4-dimethoxyphenyl)-5,5-diethyl-5,6-dihydro-6-oxo-1(4H)-pyridazinyl]- (9CI) (CA INDEX NAME)

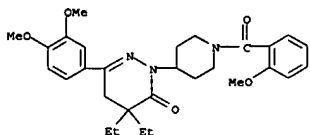


RN 862578-35-6 CAPLUS  
 CN Piperidine, 4-[3-(3,4-dimethoxyphenyl)-5,6-dihydro-5,5-dimethyl-6-oxo-1(4H)-pyridazinyl]-1-[(3,5-dioxo-4-morpholinyl)acetyl]- (9CI) (CA INDEX NAME)

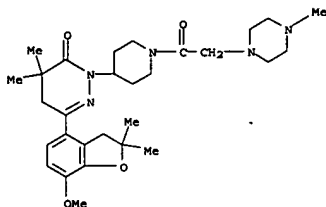


RN 862578-36-7 CAPLUS  
 CN Piperidine, 4-[3-(3,4-dimethoxyphenyl)-5,5-diethyl-5,6-dihydro-6-oxo-1(4H)-pyridazinyl]-1-[(3,5-dioxo-4-morpholinyl)acetyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)  
pyridazinyl-1-(2-methoxybenzoyl)- (9CI) (CA INDEX NAME)

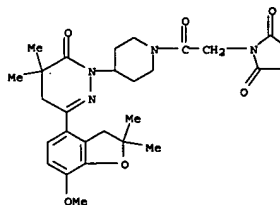


RN 862578-37-8 CAPLUS  
CN Piperidine, 4-[3-(2,3-dihydro-7-methoxy-2,2-dimethyl-4-benzofuranyl)-5,6-dihydro-5,5-dimethyl-6-oxo-1(4H)-pyridazinyl]-1-[(4-methyl-1-piperazinyl)acetyl]- (9CI) (CA INDEX NAME)

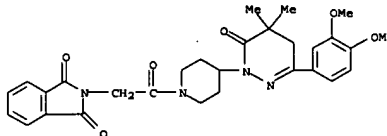


RN 862578-38-9 CAPLUS  
CN Piperidine, 4-[3-(2,3-dihydro-7-methoxy-2,2-dimethyl-4-benzofuranyl)-5,6-dihydro-5,5-dimethyl-6-oxo-1(4H)-pyridazinyl]-1-[(2,5-dioxo-1-pyrrolidinyl)acetyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 862578-39-0 CAPLUS  
CN Piperidine, 1-[(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)acetyl]-4-[3-(3,4-dimethoxyphenyl)-5,6-dihydro-5,5-dimethyl-6-oxo-1(4H)-pyridazinyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

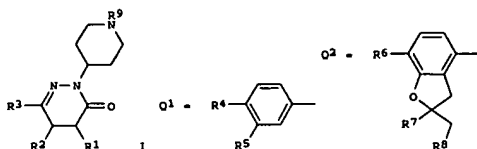
FORMAT

L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:182864 CAPLUS  
DOCUMENT NUMBER: 140:217651  
TITLE: Preparation of piperidinylpyridazinones as inhibitors of phosphodiesterase PDE4 or PDE3/4 inhibitors.  
INVENTOR(S): Matzelmann, Armin; Barsig, Johannes; Marx, Degenhard; Kley, Hans-Peter; Christleane, Johannes A. M.; Menge, Wiro M. P. B.; Sterk, Geert Jan  
PATENT ASSIGNEE(S): Altana Pharma A.G., Germany  
SOURCE: PCT Int. Appl., 52 pp.  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004018451	A1	20040304	WO 2003-EP8677	20030806
WO 2004018451	C1	20040506		
W: AE, AL, AU, BA, BR, CA, CN, CO, DZ, EC, GE, HR, ID, IL, IN, IS, JP, KR, LT, LV, MA, MK, MX, NO, NZ, PH, PL, SG, TN, UA, US, VN, YU, ZA, ZW				
RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR				
CA 2494650	AA	20040304	CA 2003-2494650	20030806
AU 2003251693	A1	20040311	AU 2003-251693	20030806
EP 1556369	A1	20050727	EP 2003-792259	20030806
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005538138	T2	20051215	JP 2004-530088	20030806
US 2006167001	A1	20060727	US 2005-523112	20050203
			EP 2002-17978	A 20030810
PRIORITY APPLN. INFO.: WO 2003-EP8677 W 20030806				

OTHER SOURCE(S): MARPAT 140:217651  
GI



AB Title compds. [I; R1, R2 = H, alkyl; R3 = Q1, Q2; R4 = (fluoro)alkoxy; R5, R6 = cycloalkoxy, cycloalkylmethoxy, (fluoro)alkoxy; R7 = alkyl; R8 = H, R9 =

Hahte

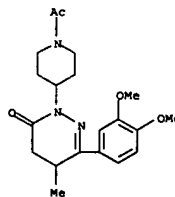
L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

alkyl; R7R8 = atoms to form a 5-7 membered ring optionally interrupted by O, S; R9 = alkyl, SO2R10, COR13, aryl, etc.; R10 = alkyl, 5-dimethylaminonaphthalen-1-yl, thienyl, NR16R17, (substituted) Ph, etc.; R13 = alkyl, carboxyalkyl, Ph, pyridyl, NR16R17, etc.; R16 = H, alkyl, cycloalkyl, cycloalkylmethyl, (substituted) Ph; R17 = alkyl, cycloalkyl, cycloalkylmethyl, (substituted) Ph; NR16R17 = 4-morpholinyl, 1-pyrrolidinyl, 1-piperidinyl, 1-hexahydroazepinyl, (substituted) piperazinyl, were prepd. Thus, piperidin-4-ylhydrazine dihydrochloride (prepn. given), 4-(3,4-dimethoxyphenyl)-3-methyl-4-oxobutyric acid, and Et3N were refluxed 18 h in PrOH to give 6-(3,4-dimethoxyphenyl)-5-methyl-2-piperidin-4-yl-4,5-dihydro-2H-pyridazin-3-one hydrochloride. I inhibited PDE4 with -log IC50 = 7.17-8.39.

IT 666750-59-OP 666750-60-3P 666750-61-4P 666750-62-5P 666750-63-6P 666750-64-7P 666750-65-8P 666750-66-9P 666750-67-OP 666750-68-1P 666750-69-2P 666750-70-5P 666750-71-6P 666750-72-7P 666750-73-8P 666750-74-9P 666750-75-OP 666750-76-1P 666750-77-2P 666750-78-3P 666750-79-4P 666750-80-2P 666750-81-8P 666750-82-9P 666750-83-OP 666750-84-1P 666750-85-2P 666750-86-3P

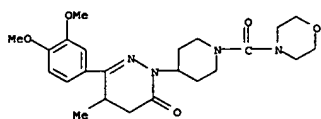
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of piperidinylpyridazinones as phosphodiesterase PDE4 or PDE3/4 inhibitors)

RN 666750-59-0 CAPLUS  
CN Piperidine, 1-acetyl-4-[3-(3,4-dimethoxyphenyl)-5,6-dihydro-4-methyl-6-oxo-1(4H)-pyridazinyl]-1-piperidinylcarbonyl- (9CI) (CA INDEX NAME)

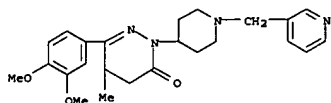


RN 666750-60-3 CAPLUS  
CN Morpholine, 4-[(4-[3-(3,4-dimethoxyphenyl)-5,6-dihydro-4-methyl-6-oxo-1(4H)-pyridazinyl]-1-piperidinyl)carbonyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

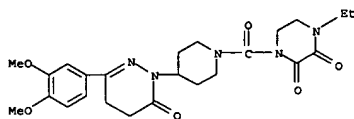


RN 666750-61-4 CAPLUS  
 CN 3(2H)-Pyridazinone, 6-(3,4-dimethoxyphenyl)-4,5-dihydro-5-methyl-2-[1-(3-pyridinylmethyl)-4-piperidinyl]-, dihydrochloride (9CI) (CA INDEX NAME)



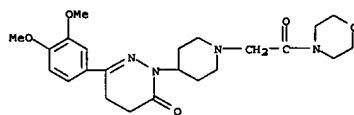
● 2 HCl

RN 666750-62-5 CAPLUS  
 CN 2,3-Piperazinedione, 1-[[4-[3-(3,4-dimethoxyphenyl)-5,6-dihydro-6-oxo-1(4H)-pyridazinyl]-1-piperidinyl]carbonyl]-4-ethyl-, dihydrochloride (9CI) (CA INDEX NAME)



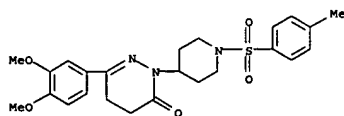
RN 666750-63-6 CAPLUS  
 CN Piperazine, 1-[[4-[3-(3,4-dimethoxyphenyl)-5,6-dihydro-6-oxo-1(4H)-pyridazinyl]-1-piperidinyl]carbonyl]-4-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

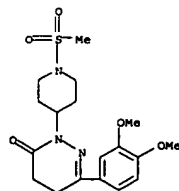


● HCl

RN 666750-66-9 CAPLUS  
 CN Piperidine, 4-[[3-(3,4-dimethoxyphenyl)-5,6-dihydro-6-oxo-1(4H)-pyridazinyl]-1-[(4-methylphenyl)sulfonyl]-, monohydrochloride (9CI) (CA INDEX NAME)

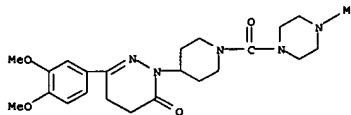


RN 666750-67-0 CAPLUS  
 CN Piperidine, 4-[[3-(3,4-dimethoxyphenyl)-5,6-dihydro-6-oxo-1(4H)-pyridazinyl]-1-(methylsulfonyl)-, monohydrochloride (9CI) (CA INDEX NAME)



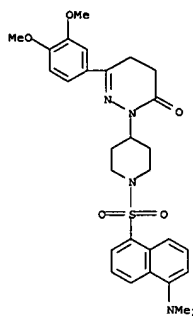
RN 666750-68-1 CAPLUS  
 CN Piperidine, 1-[[4-(4-chlorophenyl)sulfonyl]-4-[3-(3,4-dimethoxyphenyl)-5,6-dihydro-6-oxo-1(4H)-pyridazinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



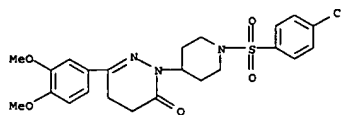
● HCl

RN 666750-64-7 CAPLUS  
 CN Piperidine, 4-[[3-(3,4-dimethoxyphenyl)-5,6-dihydro-6-oxo-1(4H)-pyridazinyl]-1-[(5-(dimethylamino)-1-naphthalenyl)sulfonyl]-, monohydrochloride (9CI) (CA INDEX NAME)

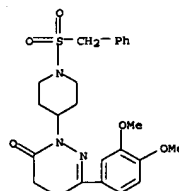


RN 666750-65-8 CAPLUS  
 CN Morpholine, 4-[[4-[3-(3,4-dimethoxyphenyl)-5,6-dihydro-6-oxo-1(4H)-pyridazinyl]-1-piperidinyl]acetyl]-, monohydrochloride (9CI) (CA INDEX NAME)

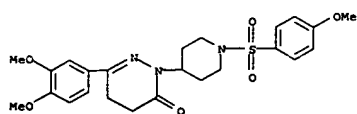
L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 666750-69-2 CAPLUS  
 CN Piperidine, 4-[[3-(3,4-dimethoxyphenyl)-5,6-dihydro-6-oxo-1(4H)-pyridazinyl]-1-[(phenylmethyl)sulfonyl]-, monohydrochloride (9CI) (CA INDEX NAME)

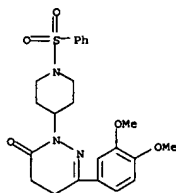


RN 666750-70-5 CAPLUS  
 CN Piperidine, 4-[[3-(3,4-dimethoxyphenyl)-5,6-dihydro-6-oxo-1(4H)-pyridazinyl]-1-[(4-methoxyphenyl)sulfonyl]-, monohydrochloride (9CI) (CA INDEX NAME)

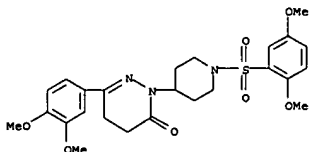


RN 666750-71-6 CAPLUS  
 CN Piperidine, 4-[[3-(3,4-dimethoxyphenyl)-5,6-dihydro-6-oxo-1(4H)-pyridazinyl]-1-(phenylsulfonyl)-, monohydrochloride (9CI) (CA INDEX NAME)

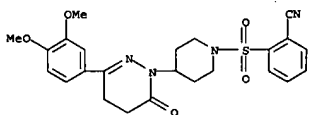
L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 666750-72-7 CAPLUS  
 CN Piperidine, 4-[3-(3,4-dimethoxyphenyl)-5,6-dihydro-6-oxo-1(4H)-pyridazinyl]-1-[(2,5-dimethoxyphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

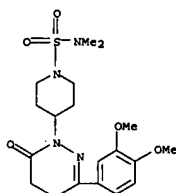


RN 666750-73-8 CAPLUS  
 CN Piperidine, 1-[(2-cyanophenyl)sulfonyl]-4-[3-(3,4-dimethoxyphenyl)-5,6-dihydro-6-oxo-1(4H)-pyridazinyl]- (9CI) (CA INDEX NAME)

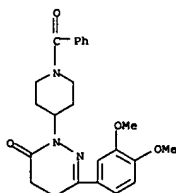


RN 666750-74-9 CAPLUS  
 CN Piperidine, 4-[3-(3,4-dimethoxyphenyl)-5,6-dihydro-6-oxo-1(4H)-pyridazinyl]-1-(2-thienylsulfonyl)- (9CI) (CA INDEX NAME)

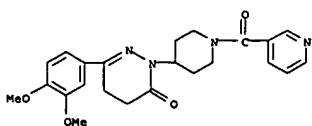
L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 666750-78-3 CAPLUS  
 CN Piperidine, 1-benzoyl-4-[3-(3,4-dimethoxyphenyl)-5,6-dihydro-6-oxo-1(4H)-pyridazinyl]- (9CI) (CA INDEX NAME)

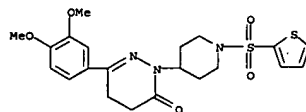


RN 666750-79-4 CAPLUS  
 CN Piperidine, 4-[3-(3,4-dimethoxyphenyl)-5,6-dihydro-6-oxo-1(4H)-pyridazinyl]-1-(3-pyridinylcarbonyl)- (9CI) (CA INDEX NAME)

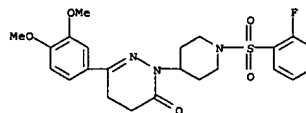


RN 666750-80-7 CAPLUS  
 CN Piperidine, 4-[3-(3,4-dimethoxyphenyl)-5,6-dihydro-6-oxo-1(4H)-pyridazinyl]-1-(2,4,6-trichlorobenzoyl)- (9CI) (CA INDEX NAME)

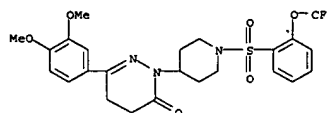
L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 666750-75-0 CAPLUS  
 CN Piperidine, 4-[3-(3,4-dimethoxyphenyl)-5,6-dihydro-6-oxo-1(4H)-pyridazinyl]-1-[(2-fluorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)

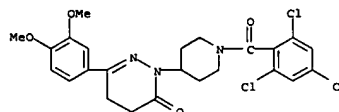


RN 666750-76-1 CAPLUS  
 CN Piperidine, 4-[3-(3,4-dimethoxyphenyl)-5,6-dihydro-6-oxo-1(4H)-pyridazinyl]-1-[(2-(trifluoromethoxy)phenyl)sulfonyl]- (9CI) (CA INDEX NAME)

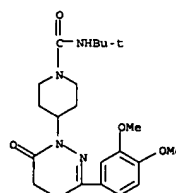


RN 666750-77-2 CAPLUS  
 CN 1-Piperidinesulfonamide, 4-[3-(3,4-dimethoxyphenyl)-5,6-dihydro-6-oxo-1(4H)-pyridazinyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

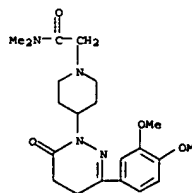
L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 666750-81-8 CAPLUS  
 CN 1-Piperidinecarboxamide, 4-[3-(3,4-dimethoxyphenyl)-5,6-dihydro-6-oxo-1(4H)-pyridazinyl]-N-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)



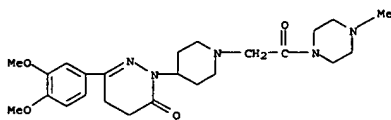
RN 666750-82-9 CAPLUS  
 CN 1-Piperidineacetamide, 4-[3-(3,4-dimethoxyphenyl)-5,6-dihydro-6-oxo-1(4H)-pyridazinyl]-N,N-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

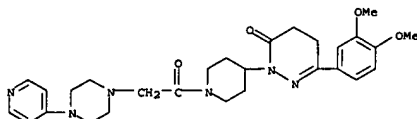
RN 666750-83-0 CAPLUS  
 CN Piperazine, 1-[(4-[3-(3,4-dimethoxyphenyl)-5,6-dihydro-6-oxo-1(4H)-pyridazinyl]-1-(2,4,6-trichlorobenzoyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)  
pyridazinyl-1-piperidinylacetyl-4-methyl-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

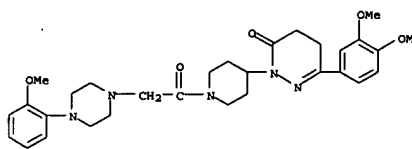
RN 666750-84-1 CAPLUS  
CN Piperidine, 4-[3-(3,4-dimethoxyphenyl)-5,6-dihydro-6-oxo-1(4H)-pyridazinyl]-1-[[4-(4-pyridinyl)-1-piperazinyl]acetyl]-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

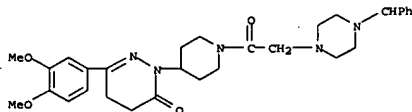
RN 666750-85-2 CAPLUS  
CN Piperidine, 4-[3-(3,4-dimethoxyphenyl)-5,6-dihydro-6-oxo-1(4H)-pyridazinyl]-1-[[4-(4-methoxyphenyl)-1-piperazinyl]acetyl]-, dihydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● 2 HCl

RN 666750-86-3 CAPLUS  
CN Piperidine, 4-[3-(3,4-dimethoxyphenyl)-5,6-dihydro-6-oxo-1(4H)-pyridazinyl]-1-[[4-(diphenylmethyl)-1-piperazinyl]acetyl]-, dihydrochloride (9CI) (CA INDEX NAME)



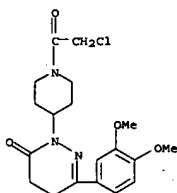
● 2 HCl

IT 666750-88-5P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(Preparation of piperidine-substituted pyridazines as phosphodiesterase PDE4 or PDE3/4 inhibitors)

RN 666750-88-5 CAPLUS  
CN Piperidine, 1-(chloroacetyl)-4-[3-(3,4-dimethoxyphenyl)-5,6-dihydro-6-oxo-1(4H)-pyridazinyl]-, (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L4 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:182711 CAPLUS  
DOCUMENT NUMBER: 140:235729  
TITLE: Preparation of piperidine-substituted pyridazines and phthalazines as PDE4 inhibitors  
INVENTOR(S): Sterk, Geert Jan; Hatzelmann, Armin; Marx, Degenhard; Kley, Hans-Peter; Menge, Wiro M. P. B.  
PATENT ASSIGNEE(S): Altana Pharma A.-G., Germany  
SOURCE: PCT Int. Appl., 65 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004017974	A1	20040304	WO 2003-EP8724	20030806
W: AE, AL, AU, BA, BR, CA, CN, CO, DZ, EC, GE, HR, ID, IL, IN, IS, JP, KR, LT, LV, MA, MK, MX, NO, NZ, PH, PL, SG, TN, UA, US, VN, YU, ZA, ZW				
RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR				
CA 2494634	AA	20040304	CA 2003-2494634	20030806
AU 2003260376	A1	20040311	AU 2003-260376	20030806
EP 1556049	A1	20050727	EP 2003-792267	20030806
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005538140	T2	20051215	JP 2004-530096	20030806
US 2006094710	A1	20060504	US 2005-523111	20051003
PRIORITY APPLN. INFO:			EP 2002-17977	A 20020810
			WO 2003-EP8724	W 20030806

OTHER SOURCE(S): MARPAT 140:235729  
GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. I [R1-2 = H, alkyl, etc.; R3 = substituted Ph, etc.; R9 = naphthyl, pyrazinyl, pyridazinyl, etc.] are prepared For instance,

(4aS,8aR)-4-(3,4-Dimethoxyphenyl)-2-piperidin-4-yl-4a,5,8,8a-tetrahydro-2H-phthalazin-1-one hydrochloride (preparation given) is reacted with methanesulfonylacetic acid (CH2Cl2, Et3N) to give II. Compds. of the invention have pIC50 ≥ 9 for the PDE4 receptor. I are useful for the treatment of airway disorders.

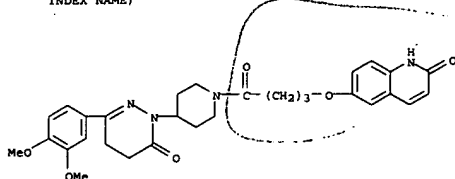
IT 666851-19-OP, 6-[4-[3-(3,4-dimethoxyphenyl)-6-oxo-5,6-dihydro-4H-pyridazin-1-yl]piperidin-1-yl]-4-oxobutoxy]-1H-quinolin-2-one  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(Preparation of piperidine-substituted pyridazines and phthalazines as PDE4 inhibitors)

RN 666851-19-0 CAPLUS



L4 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)  
 CN Piperidine, 1-[4-[(1,2-dihydro-2-oxo-6-quinolinyloxy)-1-oxobutyl]-4-(3,4-dimethoxyphenyl)-5,6-dihydro-6-oxo-1(4H)-pyridazinyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE  
 FORMAT

L4 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1961:76261 CAPLUS  
 DOCUMENT NUMBER: 55:76261  
 ORIGINAL REFERENCE NO.: 55:14491a-e  
 TITLE: Pyridazine derivatives  
 PATENT ASSIGNEE(S): Sandoz Ltd.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Unavailable  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

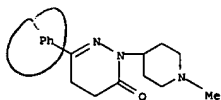
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 858792		19610118	GB 1959-3664	19590202

AB Pyridazine derivs. showing slight toxicity and good analgetic action were prepared 1-Methyl-4-piperidylhydrazine (I) (55.6 g.) and 50 g. AcCH<sub>2</sub>CHMeCO<sub>2</sub>H refluxed 19 hrs. in alc., the alc. removed, the residue dissolved in CHCl<sub>3</sub>, extracted with 2N HCl, made alkaline, extracted with CHCl<sub>3</sub>, and concentrated gave 4,6-dimethyl-2-(1-methyl-4-piperidyl)-4,5-dihydro-3(2H)-pyridazinone, m. 107-11°. This compound (10 g.) in 100 cc. AcOH treated dropwise with 7.66 g. Br, the solvent removed at 100°, the residue extracted from alkaline solution with CHCl<sub>3</sub>, and chromatographed on Al<sub>2</sub>O<sub>3</sub> gave 4,6-dimethyl-2-(1-methyl-4-piperidyl)-3(2H)-pyridazinone, m. 87-9°, HBr salt m. 250-2°. Similarly, I and the appropriate γ-oxobutyric acids gave the following 2-(1-methyl-4-piperidyl)-3(2H)-pyridazinones (substituents, m.p., and m.p. of 4,5-dihydro analog given): 6-Ph, 122-5° (HBr salt m. 310°), 153-5°, 4,6-Ph<sub>2</sub>, 142-3°, 138-60°, 4,6-Me<sub>2</sub>, - (HCl salt m. 252-4°), 92-4° (HCl salt m. 246-50°), 4,6-MePh, 284°, 124°, 6-(p-MeOC<sub>6</sub>H<sub>4</sub>), - (tartrate m. 199-200°), 107-8°, 6-(bromo-β-thienyl), - (HCl salt m. 286°), 103-5° [for 6-(β-thienyl) derivative], 4,5,6-Et<sub>2</sub>Ph, - (HCl salt m. 268-70°, HBr salt m. 262-4°), -; 4,6-PhMe, 140° (HCl salt m. 228°), -; and 6,4-Ph(CN), 223° (HCl salt m. 280°), 183-5°. I (55.73 g.) in 200 cc. alc. added dropwise at 15° to 37.15 g. Ac<sub>2</sub>O (II) in 200 cc. C<sub>6</sub>H<sub>6</sub>, the mixture kept overnight, and refluxed 15 min., the solvent removed, and the residue distilled in vacuo gave 2,3-butanedione mono(1-methyl-4-piperidylhydrazonone) (III), b.p. 125-30°. NCCH<sub>2</sub>CO<sub>2</sub>Et (11.3 g.), 16.4 g. III, 8.0 g. AcOH, and 5.13 g. NH<sub>4</sub>OAc refluxed 17 hrs. in 100 cc. C<sub>6</sub>H<sub>6</sub> with separation of H<sub>2</sub>O, concentrated at 50° in vacuo, the oil diluted with CHCl<sub>3</sub>, shaken with 2N NaOH, concentrated, and chromatographed on Al<sub>2</sub>O<sub>3</sub> gave 4-cyano-5,6-dimethyl-2-(1-methyl-4-piperidyl)-3(2H)-pyridazinone, m. 103-5° (Et<sub>2</sub>O); HCl salt m. 263-6°; HBr salt m. 238-9°. Similarly, 1,2-cyclohexanedione and I gave 1,2-cyclohexanedione mono(1-methyl-4-piperidylhydrazonone), b.p. 112-20°, and 4-cyano-5,6-cyclotetramethylene-2-(1-methyl-4-piperidyl)-3(2H)-pyridazinone (HCl salt m. 247-50°). 1-Isopropyl-4-piperidylhydrazine and II gave 2,3-butanedione mono(1-isopropyl-4-piperidylhydrazonone), m. 84-7°.

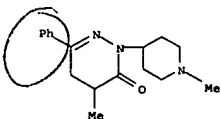
L4 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)  
 and 4-cyano-5,6-dimethyl-2-(1-isopropyl-4-piperidyl)-3(2H)-pyridazinone (hydrochloride m. 276-8°).

IT 101268-89-7, 3(2H)-Pyridazinone, 4,5-dihydro-2-(1-methyl-4-piperidyl)-6-phenyl- 101599-83-1, 3(2H)-Pyridazinone, 4,5-dihydro-4-methyl-2-(1-methyl-4-piperidyl)-6-phenyl- 101599-90-0, 3(2H)-Pyridazinone, 4,5-dihydro-6-(p-methoxyphenyl)-2-(1-methyl-4-piperidyl)- 102556-72-9, 3(2H)-Pyridazinone, 4,5-dihydro-2-(1-methyl-4-piperidyl)-4,6-diphenyl- 860411-95-6, 4-Pyridazinonecarbonitrile, 2,3,4,5-tetrahydro-2-(1-methyl-4-piperidyl)-3-oxo-6-phenyl- (preparation of)

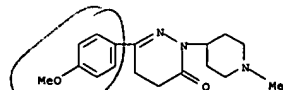
RN 101268-89-7 CAPLUS  
 CN 3(2H)-Pyridazinone, 4,5-dihydro-2-(1-methyl-4-piperidyl)-6-phenyl- (6CI) (CA INDEX NAME)



RN 101599-83-1 CAPLUS  
 CN 3(2H)-Pyridazinone, 4,5-dihydro-2-(1-methyl-4-piperidyl)-6-phenyl- (6CI) (CA INDEX NAME)

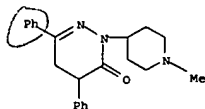


RN 101599-90-0 CAPLUS  
 CN 3(2H)-Pyridazinone, 4,5-dihydro-6-(p-methoxyphenyl)-2-(1-methyl-4-piperidyl)- (6CI) (CA INDEX NAME)



RN 102556-72-9 CAPLUS  
 CN 3(2H)-Pyridazinone, 4,5-dihydro-2-(1-methyl-4-piperidyl)-4,6-diphenyl- (6CI) (CA INDEX NAME)

L4 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 860411-95-6 CAPLUS  
 CN 4-Pyridazinonecarbonitrile, 2,3,4,5-tetrahydro-2-(1-methyl-4-piperidyl)-3-oxo-6-phenyl- (6CI) (CA INDEX NAME)

